

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
10 February 2005 (10.02.2005)

PCT

(10) International Publication Number  
**WO 2005/012265 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 243/02**

(74) Agent: **JALSOVSZKY, Györgyné**; Közraktár utca 24.,  
H-1093 Budapest (HU).

(21) International Application Number:  
PCT/HU2004/000082

(22) International Filing Date: 29 July 2004 (29.07.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
P 03 02449 4 August 2003 (04.08.2003) HU

(71) Applicant (for all designated States except US): **EGIS  
GYÓGYSZERGYÁR RT** [HU/HU]; Kereszturi ut 30-38,  
H-1106 Budapest (HU).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BARKOCZY,  
József** [HU/HU]; Szirom utca 4-6/B, H-1016 Budapest  
(HU). **LING, István** [HU/HU]; Álmos vezér utja 44.,  
H-1141 Budapest (HU). **SIMIG, Gyula** [HU/HU]; Hol-  
lós Simon utca 25., H-1126 Budapest (HU). **SZÉNÁSI,  
Gábor** [HU/HU]; Vizimolnár utca 9., H-1031 Budapest  
(HU). **GIGLER, Gábor** [HU/HU]; Etele ut 73., H-1119  
Budapest (HU). **KERTÉSZ, Szabolcs** [HU/HU]; Csen-  
gery utca 62/B., H-1062 Budapest (HU). **SZÜCS, Gyula**  
[HU/HU]; Liszt Ferenc utca 19., H-1042 Budapest (HU).  
**SZABÓ, Géza** [HU/HU]; Hold utca 25., H-1054 Budapest  
(HU). **VÉGH, Miklós** [HU/HU]; Szondy utca 89., H-1068  
Budapest (HU). **HÁRSING, László, Gábor** [HU/HU];  
Dembinszky ut 8., H-1071 Budapest (HU).

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

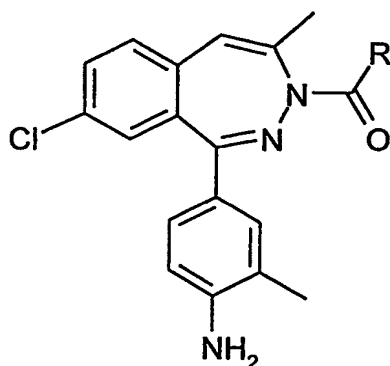
(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG).

**Published:**

- with international search report
- before the expiration of the time limit for amending the  
claims and to be republished in the event of receipt of  
amendments

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: **8-CHLORO-2,3-BENZODIAZEPINE DERIVATIVES**



(I)

(57) Abstract: The invention relates to new 8-chloro-2,3-benzodiazepine derivatives of the general formula (I), wherein R stands for a lower alkyl group or a group of the general formula -NH-R', wherein R' stands for a lower alkyl or a lower cycloalkyl group), and pharmaceutically acceptable acid addition salts thereof. The invention also encompasses a process for the preparation of said compounds, pharmaceutical compositions containing them and new intermediates useful for the preparation of the new 8-chloro-2,3-benzodiazepine derivatives. The compounds according to the invention possess AMPA/kainate receptor inhibiting activity.

WO 2005/012265 A1